

Claims

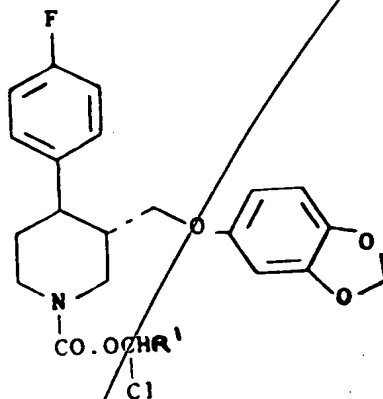
1. Crystalline paroxetine hydrochloride hemihydrate.
2. Crystalline paroxetine hydrochloride hemihydrate in substantially pure form.
3. Crystalline paroxetine hydrochloride hemihydrate, having substantially the same X-ray diffractogram as set out in Figure 1, substantially the same IR spectrum, in a Nujol mull, as set out in Figure 2, and substantially the same DSC profile as set out in Figure 3.

4. ⁵ *An anti-depressant* *an effective anti-depressant amount of*
A pharmaceutical composition comprising crystalline paroxetine hydrochloride hemihydrate and a pharmaceutically acceptable carrier.

5. ⁴ A process for the preparation of crystalline paroxetine hydrochloride hemihydrate, which process comprises forming a solution of paroxetine hydrochloride and ~~precipitating the crystalline form from solution.~~

6. A process according to claim 5, wherein a solution of paroxetine free base or a salt thereof other than the hydrochloride is contacted with hydrogen chloride.

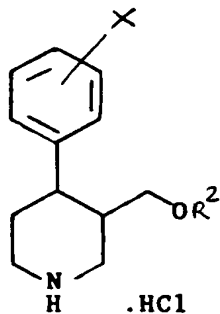
7. A process according to claim 5, which process comprises deacylating a compound of formula IIa



IIa

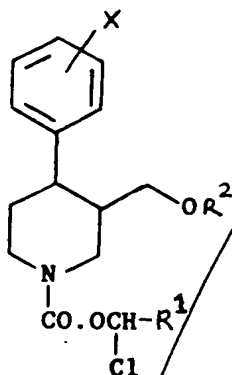
wherein R¹ is a C₁-6 alkyl group.

8. A process for the preparation of a compound of formula I



I

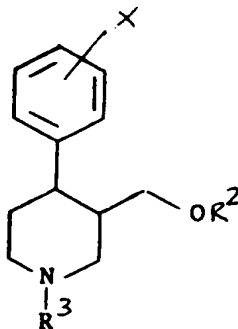
in which R² represents an alkyl or alkynyl group having 1-4 carbon atoms, or a phenyl group optionally substituted by C₁-4 alkyl, C₁-6 alkylthio, C₁-6 alkoxy, halogen, nitro, acylamino, methylsulfonyl or methylenedioxy, or represents tetrahydronaphthyl, and X represents hydrogen, alkyl having 1-4 carbon atoms, C₁-6 alkoxy, C₁-6 trifluoroalkyl, hydroxy, halogen, methylthio, or aryl(C₁-6)-alkyloxy, by de-acylating a compound of formula II.



II

in which R^1 is a C_{1-6} alkyl group and X and R^2 are defined as defined for formula I

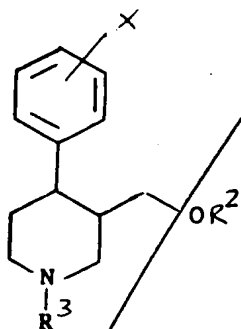
9. A process according to claim 8, wherein the compound of formula II is obtained by reacting a compound of formula III.



III

wherein X and R^2 are as defined in claim 8 and R^3 is a C_{1-6} alkyl group, with α -chloro-ethyl chloroformate or a homologue thereof in the presence of a solvent.

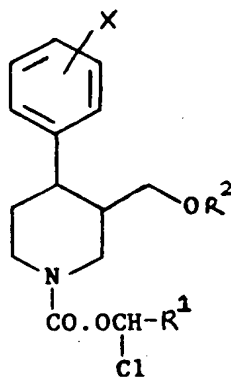
10. A process according to claim 8, wherein the compound of formula II is obtained by (i) reacting a compound of formula III



III

wherein X and R² are as defined in claim 8 and R³ is a C₁-6 alkyl group, with vinyl chloroformate or a homologue thereof in the presence of a solvent and (ii) treating the product obtained with hydrogen chloride.

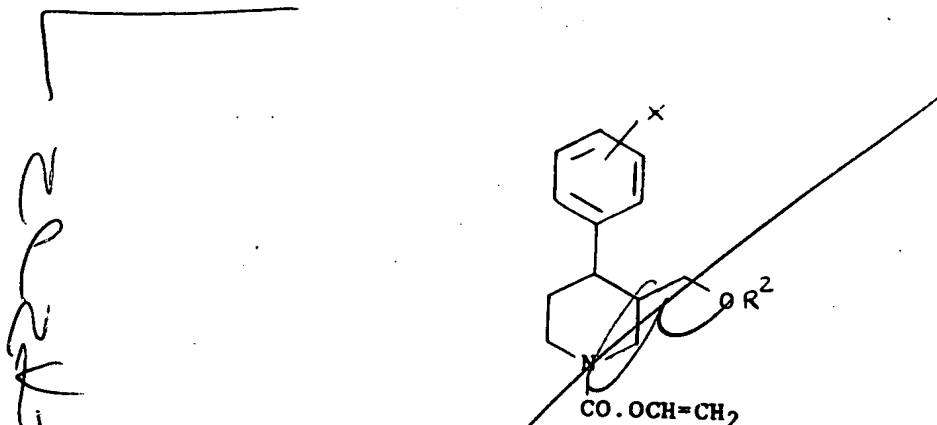
11. A compound of formula II



II

wherein X, R¹ and R² are as defined in claim 8.

12. A compound of formula IV



IV

wherein X and R² are as defined in claim 8.

a 13. ⁶ A method of treatment of depression, ^{in mammals} which method comprises administering an effective amount of crystalline paroxetine hydrochloride hemihydrate.

End